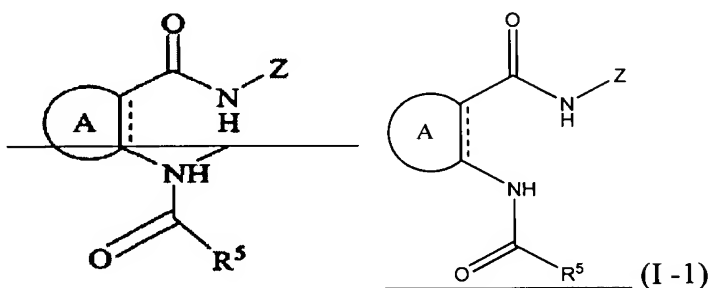


AMENDMENTS TO THE CLAIMS

Claims 1 - 49 (Canceled):

Claim 50 (Currently Amended). ~~The compound according to claim 1, wherein~~
~~formula (I) is~~ A compound represented by ~~represented by~~ formula (I-1), or a
pharmaceutically acceptable salt thereof:



wherein A, R⁵, Z, and ~~----~~ are as defined in claim 1

A represents a five- to nine-membered unsaturated carbocyclic moiety or a five- to
nine-membered unsaturated heterocyclic moiety, and ~~----~~ represents a single bond or a
double bond,

the carbocyclic moiety and the heterocyclic moiety represented by A are optionally
substituted by

(a) a halogen atom;

(b) hydroxyl;

(c) C₁₋₆ alkyl;

(d) C₁₋₆ alkoxy;

(e) aryl;

(f) aryloxy;

(g) arylthio;

(h) alkylthio;

(i) nitro;

(j) amino;

(k) mono- or di-arylamino;

(l) mono- or di-₁₋₆ alkylamino;

(m) C₂₋₆ alkenyl;

(n) C₂₋₆ alkenyloxy;

(o) C₂₋₆ alkenylthio;

(p) mono- or di-C₂₋₆ alkenylamino;

(q) carboxyl; or

(r) C₁₋₆ alkyl- or aryl-oxycarbonyl;

(c) the C₁₋₆ alkyl group, (d) the C₁₋₆ alkoxy group, (e) the aryl group, (f) the aryloxy group, (g) the arylthio group, (h) the alkylthio group, (m) the C₂₋₆ alkenyl group, (n) the C₂₋₆ alkenyloxy group, and (o) the C₂₋₆ alkenylthio group are optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C₁₋₆ alkoxy, (5) C₁₋₆ alkylthio, (6) C₁₋₆ alkylsulfonyl, (7) mono-or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, (14) arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl, and the aryl group is optionally substituted by halogen, C₁₋₆ alkyl, C₁₋₆ alkoxy, or C₁₋₆ alkylamino, (15) C₁₋₆ alkoxy-(CH₂CH₂O)_m wherein m is an integer of 1 to 6, (16) carboxyl, (17) an oxygen atom (=O), or (18) C₃₋₇ cycloalkyl,

the aryl moiety in (k) the mono- or di-arylamino group is optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C₁₋₆ alkoxy, (5) C₁₋₆ alkylthio, (6) C₁₋₆ alkylsulfonyl, (7) mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino

optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, (14) arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl, and the aryl group is optionally substituted by halogen, C₁₋₆ alkyl, C₁₋₆ alkoxy, or C₁₋₆ alkylamino, (15) C₁₋₆ alkoxy-(CH₂CH₂O)_m wherein m is an integer of 1 to 6, (16) carboxyl, (17) an oxygen atom (=O), or (18) C₃₋₇ cycloalkyl, and, in the case of the mono-aryl amino group, the amino group is optionally substituted by C₁₋₆ alkyl optionally substituted by hydroxyl or a halogen atom,

in (1) the mono- or di-C₁₋₆ alkylamino, the di-C₁₋₆ alkyl group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, or aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkoxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkoxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two C₁₋₆ alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl or a halogen atom; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group,

in (p) the mono- or di-C₂₋₆ alkenylamino group, the amino group of the monoalkenylamino group is optionally substituted by C₁₋₆ alkyl optionally substituted by hydroxyl or a halogen atom, and the di- C₂₋₆ alkenyl together may form unsaturated cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkenyl groups on the amino group or the unsaturated cyclic amino moiety are optionally substituted by a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkenyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two C₁₋₆ alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl or a halogen atom; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group,

when the carbocyclic moiety and the heterocyclic moiety represented by A are substituted by two (c) C₁₋₆ alkyl groups or (m) C₂₋₆ alkenyl groups, the alkyl or the alkenyl groups together with the carbon atoms to which they are respectively attached may form an unsaturated five to seven-membered carbocyclic ring,

R⁵ represents C₁₋₆ alkyl, aryl, C₁₋₆ alkoxy, aryloxy, C₁₋₆ alkylamino, arylamino, C₁₋₆ alkylthio, arylthio, C₃₋₇ cycloalkyl, or a heterocyclic group, and the C₁₋₆ alkyl, the aryl, the C₁₋₆ alkoxy, the aryloxy C₁₋₆ the alkylamino, the arylamino, the C₁₋₆ alkylthio, the arylthio, the C₃₋₇ cycloalkyl, or the heterocyclic group represented by R⁵ is optionally substituted by

(I) a halogen atom;

(II) C₁₋₆ alkyl optionally containing a substituent selected from the group consisting of (1) hydroxyl, (2) thiol, (3) amino, (4) C₁₋₆ alkoxy, (5) C₁₋₆ alkylthio, (6) C₁₋₆ alkylsulfinyl, (7) C₁₋₆ alkylsulfonyl, (8) mono- or di C₁₋₆ alkylamino, (8') amino substituted by a heterocyclic group optionally substituted by C₁₋₆ alkyl, (9) C₁₋₆ alkylcarbonyloxy, (10) C₁₋₆ alkylcarbonylthio, (11) C₁₋₆ alkylcarbonylamino, (12) aryloxy, (13) arylthio, (14) arylsulfinyl, (15) arylsulfonyl, (16) arylamino, (17) C₁₋₆ alkyl- or aryl-sulfonylamino, (18) C₁₋₆ alkyl- or aryl-ureido, (19) C₁₋₆ alkoxy- or aryloxy-carbonylamino, (20) C₁₋₅ alkylamino- or arylaminocarbonyloxy, (21) carboxyl, (22) nitro, (23) a heterocyclic group, (23') Het-S(=O)_j wherein Het represents a heterocyclic group, j is 0, 1, or 2, and the Het is optionally substituted by alkyl optionally substituted by mono- or di- C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl, (24) cyano, and (25) a halogen atom, wherein the alkyl moiety in (4) the C₁₋₆ alkoxy group, (5) the C₁₋₆ alkylthio group, (6) the C₁₋₆ alkylsulfinyl group, and (7) the C₁₋₆ alkylsulfonyl group is optionally substituted by a halogen atom; C₁₋₆ alkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms; aryloxy; arylthio; hydroxyl; carboxyl; -S(=O)₂(-OH); C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl; or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di- C₁₋₆ alkylamino

in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxy, and

in (8) the mono- or di-C₁₋₆ alkylamino group, the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

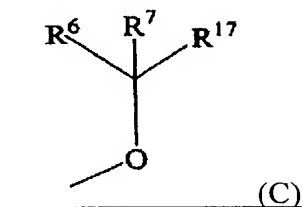
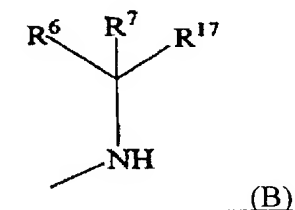
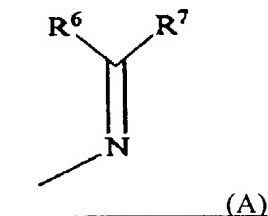
- (III) C₁₋₆ alkoxy optionally substituted by a halogen atom;
- (IV) C₁₋₆ alkylthio optionally substituted by a halogen atom;
- (V) C₃₋₇ cycloalkyl;
- (VI) aryl;
- (VII) aryloxy;
- (VIII) C₁₋₆ alkylcarbonylamino;
- (VIX) C₁₋₆ alkylcarbonyloxy;
- (X) hydroxyl;
- (XI) nitro;
- (XII) cyano;
- (XIII) amino;
- (XIV) mono or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form
cyclic amino optionally containing 1 to 3 heteroatoms;
- (XV) arylamino;
- (XVI) C₁₋₆ alkyl- or aryl-sulfonylamino;
- (XVII) C₁₋₆ alkyl- or aryl-ureido;
- (XVIII) C₁₋₆ alkoxy- or aryloxy-carbonylamino;
- (XIX) C₁₋₆ alkylamino- or arylamino-carbonyloxy;
- (XX) C₁₋₆ alkoxy- or aryloxy-carbonyl;
- (XXI) acyl;
- (XXII) carboxyl;
- (XXIII) carbamoyl;
- (XXIV) mono- or di-alkylcarbamoyl;
- (XXV) a heterocyclic group;

(XXVI) alkyl- or aryl-sulfonyl;

(XXVII) C₂₋₆ alkenyloxy group; or

(XXVIII) C₂₋₆ alkenyloxy,

Z represents group (A), group (B), or group (C):



wherein

R⁶ and R⁷, which may be the same or different, represent a hydrogen atom, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkenyl, aryl, aryl C₁₋₆ alkyl, aryl C₂₋₆ alkenyl, or a heterocyclic group, with the proviso that R⁶ and R⁷ do not represent a hydrogen atom simultaneously, and the C₁₋₆ alkyl, the aryl, the aryl C₁₋₆ alkyl, the aryl C₂₋₆ alkenyl, and the heterocyclic groups, which may be the same or different, are optionally substituted by.

(I) a halogen atom;

(II) C₁₋₆ alkyl optionally having a substituent selected from a group consisting of (1) hydroxyl, (2) thiol, (3) amino, (4) C₁₋₆ alkoxy, (5) C₁₋₆ alkylthio optionally substituted by

hydroxyl, (6) C₁₋₆ alkylsulfinyl, (7) C₁₋₆ alkylsulfonyl, (8) mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (9) C₁₋₆ alkylcarbonyloxy, (10) C₁₋₆ alkylcarbonylthio, (11) C₁₋₆ alkylcarbonylamino, (12) aryloxy, (13) arylthio, (14) arylsulfinyl, (15) arylsulfonyl, (16) arylamino, (17) C₁₋₈ alkyl- or aryl-sulfonylamino, (18) C₁₋₆ alkyl- or aryl-ureido, (19) C₁₋₆ alkoxy- or aryloxy-carbonylamino, (20) C₁₋₆ alkylamino- or arylamino-carbonyloxy, (21) carboxyl, (22) nitro, (23) a heterocyclic group, (23') Het-S- wherein Het represents a heterocyclic group, (24) cyano, (25) a halogen atom, and (26) C₁₋₆ alkyl- or aryl-oxycarbonyl;

(III) C₁₋₆ alkoxy optionally having a substituent selected from the group consisting of (1) hydroxyl, (2) thiol, (3) amino, (4) C₁₋₆ alkoxy, (5) C₁₋₆ alkylthio optionally substituted by hydroxyl, (6) C₁₋₆ alkylsulfinyl, (7) C₁₋₆ alkylsulfonyl, (8) mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (9) C₁₋₆ alkylcarbonyloxy, (10) C₁₋₆ alkylcarbonylthio, (11) C₁₋₆ alkylcarbonylamino, (12) aryloxy, (13) arylthio, (14) arylsulfinyl, (15) arylsulfonyl, (16) arylamino, (17) C₁₋₆ alkyl- or aryl-sulfonylamino, (18) C₁₋₈ alkyl- or aryl-ureido, (19) C₁₋₆ alkoxy- or aryloxy-carbonylamino, (20) C₁₋₆ alkylamino- or arylamino-carbonyloxy, (21) carboxyl, (22) nitro, (23) a heterocyclic group, (23') Het-S- wherein the Het represents a heterocyclic group, (24) cyano, (25) a halogen atom, and (26) C₁₋₆ alkyl- or aryl-oxycarbonyl;

(IV) C₁₋₆ alkylthio optionally substituted by a halogen atom;

(V) C₃₋₇ cycloalkyl;

(VI) aryl;

(VII) aryloxy;

(VIII) C₁₋₆ alkylcarbonylamino;

(VIX) C₁₋₆ alkylcarbonyloxy;

(X) hydroxyl;

(XI) nitro;

(XII) cyano;

(XIII) amino;

(XIV) mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms;

(XV) arylamino;

(XVI) C₁₋₆ alkyl- or aryl-sulfonylamino;

(XVII) C₁₋₆ alkyl- or aryl-ureido;

(XVIII) C₁₋₆ alkoxy- or aryloxy-carbonylamino;

(XIX) C₁₋₆ alkylamino- or arylamino-carbonyloxy;

(XX) C₁₋₆ alkoxy- or aryloxy-carbonyl;

(XXI) aryl;

(XXII) carboxyl;

(XXIII) carbamoyl;

(XXIV) mono- or di-alkylcarbamoyl;

(XXV) a heterocyclic group;

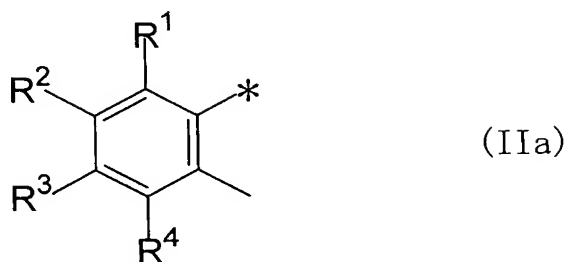
(XXVI) alkyl- or aryl-sulfonyl;

(XXVII) C₂₋₆ alkenyloxy; or

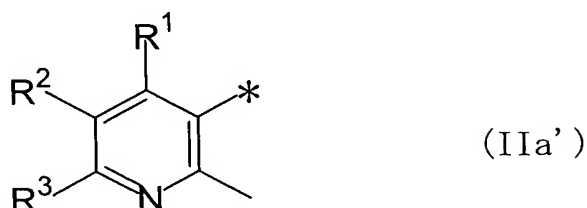
(XXVIII) C₂₋₆ alkynyloxy,

R¹⁷ represents a hydrogen atom.

Claim 51 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIa) or formula (IIa'):



(IIa)



(IIa')

wherein R¹, R², R³, and R⁴ ~~are as defined in formula (IIa) and formula (IIa')~~ in claim

3, which may be the same or different, represent

- (a) a halogen atom;
- (b) hydroxyl;
- (c) C₁₋₆ alkyl;
- (d) C₁₋₆ alkoxy;
- (e) aryl;
- (f) aryloxy;
- (g) arylthio;
- (h) alkylthio;
- (i) nitro;
- (j) amino;
- (k) mono- or di-arylamino;
- (l) mono- or di-C₁₋₆ alkylamino;
- (m) C₂₋₆ alkenyl;

(n) C₂₋₆ alkenyloxy;

(o) C₂₋₆ alkenylthio;

(p) mono- or di-C₂₋₆ alkenylamino;

(q) carboxyl;

(r) C₁₋₆ alkyl- or aryl-oxycarbonyl; or

(s) a hydrogen atom,

(c) the C₁₋₆ alkyl group, (d) the C₁₋₆ alkoxy group, (e) the aryl group, (f) the aryloxy group, (g) the arylthio group, (h) the alkylthio group, (m) the C₂₋₆ alkenyl group, (n) the C₂₋₆ alkenyloxy group, and (o) the C₂₋₆ alkenylthio group are optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C₁₋₆ alkoxy, (5) C₁₋₆ alkylthio, (6) C₁₋₆ alkylsulfonyl, (7) mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, or (14) arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl, and the aryl group is optionally substituted by halogen, C₁₋₆ alkyl, C₁₋₆ alkoxy, or C₁₋₆ alkylamino, (15) C₁₋₆ alkoxy-(CH₂CH₂O)_m wherein m is an integer of 1 to 6, (16) carboxyl, (17) an oxygen atom (=O), or (18) C₃₋₇ cycloalkyl,

the aryl moiety in (k) the mono- or di-aryl amino group is optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C₁₋₆ alkoxy, (5) C₁₋₆ alkylthio, (6) C₁₋₆ alkylsulfonyl, (7) mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, (14) arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl, and the aryl group is optionally substituted by a halogen atom, C₁₋₆ alkyl, C₁₋₆ alkoxy, or C₁₋₆ alkylamino, (15) C₁₋₆ alkoxy-(CH₂CH₂O)_m wherein m is an integer of 1 to 6, (16) carboxyl, (17) an oxygen atom (=O), or (18) C₃₋₇

cycloalkyl, and, in the case of the mono-arylamino group, the amino group is optionally substituted by C₁₋₆ alkyl optionally substituted by hydroxyl or a halogen atom,

in (l) the mono- or di-C₁₋₆ alkylamino, the di-C₁₋₆ alkyl group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, or aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two C₁₋₆ alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl or a halogen atom; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group,

in (p) the mono- or di-C₂₋₆ alkenylamino group, the amino group of the monoalkenylamino group is optionally substituted by C₁₋₆ alkyl optionally substituted by hydroxyl or a halogen atom, and the di-C₂₋₆ alkenyl together may form unsaturated cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkenyl groups on the amino group or the unsaturated cyclic amino moiety is optionally substituted by a halogen atom; C₁₋

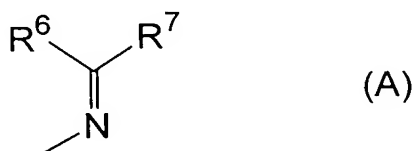
6 alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two C₁₋₆ alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl or a halogen atom; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group,

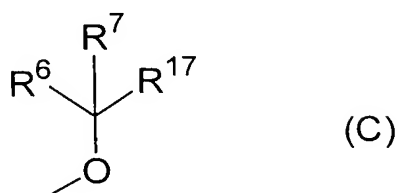
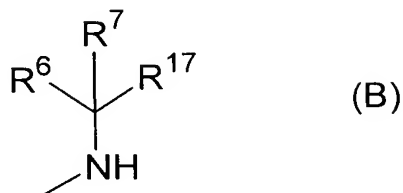
when two of R¹, R², R³, and R⁴ are (c) C₁₋₆ alkyl groups or (m) C₂₋₆ alkenyl groups, the alkyl or the alkenyl groups together with the carbon atoms to which they are respectively attached may form an unsaturated five- to seven-membered carbocyclic ring, and

* represents a bond to -C(=O)-NH(-Z)

R⁵ represents optionally substituted C₅₋₇ cycloalkyl, optionally substituted aryl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group,

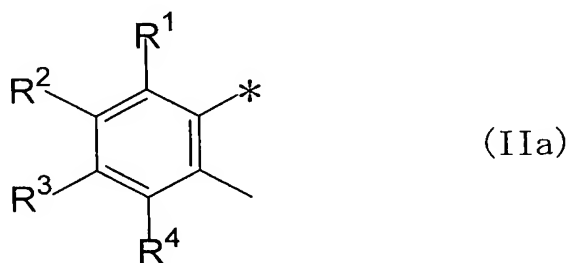
Z represents group (A), group (B), or group (C):





wherein R^6 represents a hydrogen atom or C_{1-6} alkyl, R^7 represents optionally substituted aryl, optionally substituted aryl C_{1-6} alkyl, optionally substituted aryl C_{2-6} alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and R^{17} represents a hydrogen atom.

Claim 52 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIa):



wherein R^1 , R^2 , R^3 , and R^4 ~~are as defined in formula (IIa) in claim 3, which may be~~
the same or different, represent

(a) a halogen atom;

(b) hydroxyl;

(c) C_{1-6} alkyl;

(d) C_{1-6} alkoxy;

(e) aryl;

(f) aryloxy;

(g) arylthio;

(h) alkylthio;

(i) nitro;

(j) amino;

(k) mono- or di-aryl amino;

(l) mono- or di-C₁₋₆ alkyl amino;

(m) C₂₋₆ alkenyl;

(n) C₂₋₆ alkenyloxy;

(o) C₂₋₆ alkenylthio;

(p) mono- or di-C₂₋₆ alkenyl amino;

(q) carboxyl;

(r) C₁₋₆ alkyl- or aryl-oxycarbonyl; or

(s) a hydrogen atom,

(c) the C₁₋₆ alkyl group, (d) the C₁₋₆ alkoxy group, (e) the aryl group, (f) the aryloxy group, (g) the arylthio group, (h) the alkylthio group, (m) the C₂₋₆ alkenyl group, (n) the C₂₋₆ alkenyloxy group, and (o) the C₂₋₆ alkenylthio group are optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C₁₋₆ alkoxy, (5) C₁₋₆ alkylthio, (6) C₁₋₆ alkylsulfonyl, (7) mono- or di-C₁₋₆ alkyl amino in which the di-C₁₋₆ alkyl amino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, or (14) aryl amino in which the amino group is optionally substituted by C₁₋₆ alkyl, and the aryl group is optionally substituted by

halogen, C₁₋₆ alkyl, C₁₋₆ alkoxy, or C₁₋₆ alkylamino, (15) C₁₋₆ alkoxy-(CH₂CH₂O)_m wherein m is an integer of 1 to 6, (16) carboxyl, (17) an oxygen atom (=O), or (18) C₃₋₇ cycloalkyl,

the aryl moiety in (k) the mono- or di-arylamino group is optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C₁₋₆ alkoxy, (5) C₁₋₆ alkylthio, (6) C₁₋₆ alkylsulfonyl, (7) mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, (14) arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl, and the aryl group is optionally substituted by a halogen atom, C₁₋₆ alkyl, C₁₋₆ alkoxy, or C₁₋₆ alkylamino, (15) C₁₋₆ alkoxy-(CH₂CH₂O)_m wherein m is an integer of 1 to 6, (16) carboxyl, (17) an oxygen atom (=O), or (18) C₃₋₇ cycloalkyl, and, in the case of the mono-arylamino group, the amino group is optionally substituted by C₁₋₆ alkyl optionally substituted by hydroxyl or a halogen atom,

in (l) the mono- or di-C₁₋₆ alkylamino, the di-C₁₋₆ alkyl group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, or aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two C₁₋₆ alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl or a halogen atom; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in

which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group,

in (p) the mono- or di-C₂₋₆ alkenylamino group, the amino group of the monoalkenylamino group is optionally substituted by C₁₋₆ alkyl optionally substituted by hydroxyl or a halogen atom, and the di-C₂₋₆ alkenyl together may form unsaturated cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkenyl groups on the amino group or the unsaturated cyclic amino moiety is optionally substituted by a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two C₁₋₆ alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl or a halogen atom; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbonylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group,

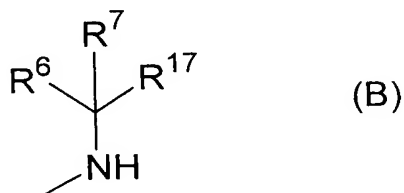
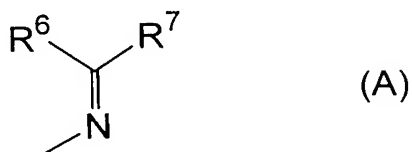
when two of R^1 , R^2 , R^3 , and R^4 are (c) C_{1-6} alkyl groups or (m) C_{2-6} alkenyl groups, the alkyl or the alkenyl groups together with the carbon atoms to which they are respectively attached may form an unsaturated five- to seven-membered carbocyclic ring, and

* represents a bond to $-C(=O)-NH(-Z)$

---- represents a double bond,

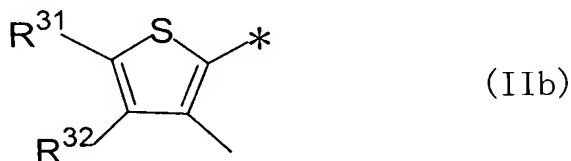
R^5 represents optionally substituted C_{5-7} cycloalkyl, optionally substituted aryl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group,

Z represents group (A) or group (B):



wherein R^6 represents a hydrogen atom or C_{1-6} alkyl, R^7 represents optionally substituted aryl, optionally substituted aryl C_{1-6} alkyl, optionally substituted aryl C_{2-6} alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and R^{17} represents a hydrogen atom.

Claim 53 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIb):



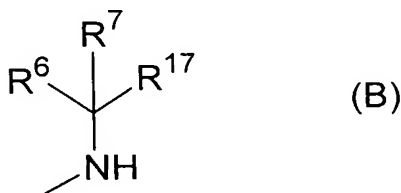
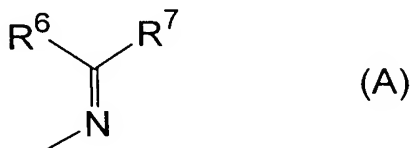
wherein R^{31} and R^{32} ~~are as defined in formula (IIb) in claim 17, which may be the same or different, represent a hydrogen atom; a halogen atom; or C_{1-6} alkyl in which the alkyl group is optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C_{1-6} alkoxy, (5) C_{1-6} alkylthio, (6) C_{1-6} alkylsulfonyl, (7) mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, or (14) arylamino in which the amino group is optionally substituted by C_{1-6} alkyl, and the aryl group is optionally substituted by a halogen, C_{1-6} alkyl, C_{1-6} alkoxy, or C_{1-6} alkylamino; or C_{2-6} alkenyl,~~

when R^{31} and R^{32} represent C_{1-6} alkyl or C_{2-6} alkenyl, the alkyl or the alkenyl groups together with the carbon atoms to which they are respectively attached may form an unsaturated five- to seven-membered carbocyclic ring, and

* represents a bond to $-C(=O)-NH(-Z)$

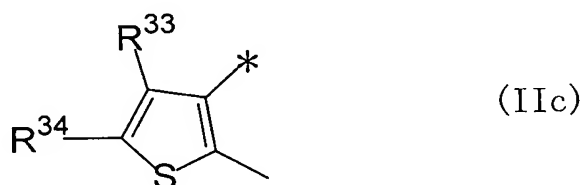
R^5 represents optionally substituted C_{5-7} cycloalkyl, optionally substituted aryl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group,

Z represents group (A) or group (B):



wherein R^6 represents a hydrogen atom or C_{1-6} alkyl, R^7 represents optionally substituted aryl, optionally substituted aryl C_{1-6} alkyl, optionally substituted aryl C_{2-6} alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and R^{17} represents a hydrogen atom.

Claim 54 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIc):



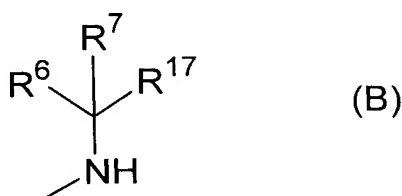
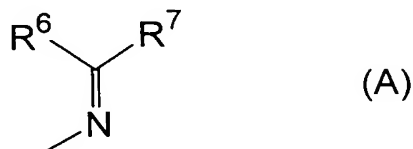
wherein R^{33} and R^{34} ~~are as defined in formula (IIc) in claim 17, which may be the same or different, represent a hydrogen atom; a halogen atom; or C_{1-6} alkyl in which the alkyl group is optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C_{1-6} alkoxy, (5) C_{1-6} alkylthio, (6) C_{1-6} alkylsulfonyl, (7) mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, or (14) arylamino in which the amino group is optionally substituted by C_{1-6} alkyl, and the aryl group is optionally substituted by a halogen, C_{1-6} alkyl, C_{1-6} alkoxy, or C_{1-6} alkylamino; or C_{2-6} alkenyl,~~

when R^{33} and R^{34} represent C_{1-6} alkyl or C_{2-6} alkenyl, the alkyl or the alkenyl groups together with the carbon atoms to which they are respectively attached may form an unsaturated five- to seven-membered carbocyclic ring, and

* represents a bond to $-C(=O)-NH(-Z)$

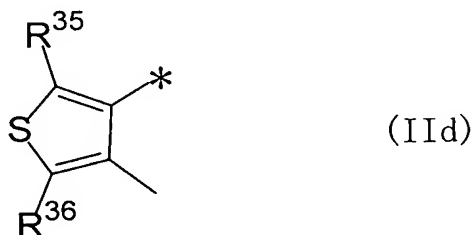
R⁵ represents optionally substituted C₅₋₇ cycloalkyl, optionally substituted aryl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group,

Z represents group (A) or group (B):



wherein R⁶ represents a hydrogen atom or C₁₋₆ alkyl, R⁷ represents optionally substituted aryl, optionally substituted aryl C₁₋₆ alkyl, optionally substituted aryl C₂₋₆ alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and R¹⁷ represents a hydrogen atom.

Claim 55 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIId):



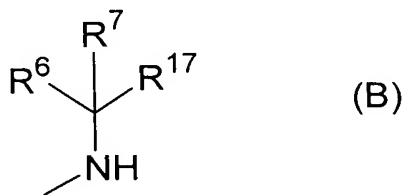
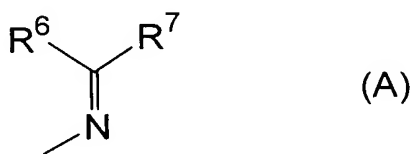
wherein R³⁵ and R³⁶ ~~are as defined in formula (IIId) in claim 17, which may be the~~
same or different, represent a hydrogen atom; a halogen atom; or C₁₋₆ alkyl in which the alkyl

group is optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C₁₋₆ alkoxy, (5) C₁₋₆ alkylthio, (6) C₁₋₆ alkylsulfonyl, (7) mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, or (14) arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl, and the aryl group is optionally substituted by a halogen, C₁₋₆ alkyl, C₁₋₆ alkoxy, or C₁₋₆ alkylamino; or C₂₋₆ alkenyl, and

* represents a bond to -C(=O)-NH(-Z)

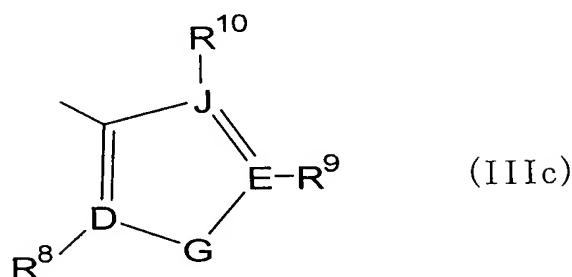
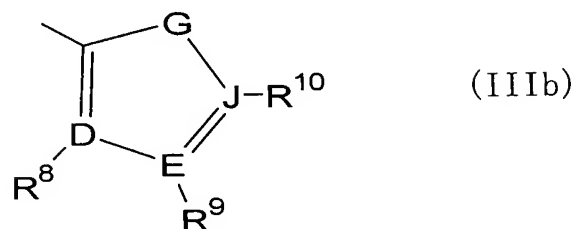
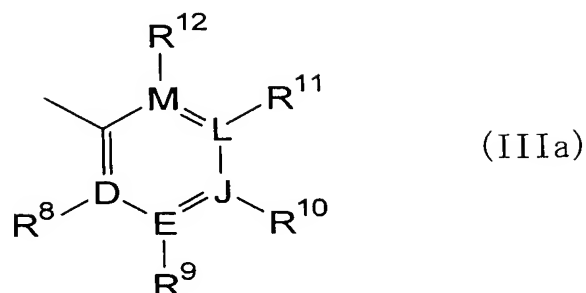
R⁵ represents optionally substituted C₅₋₇ cycloalkyl, optionally substituted aryl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group,

Z represents group (A) or group (B):



wherein R⁶ represents a hydrogen atom or C₁₋₆ alkyl, R⁷ represents optionally substituted aryl, optionally substituted aryl C₁₋₆ alkyl, optionally substituted aryl C₂₋₆ alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and R¹⁷ represents a hydrogen atom.

Claim 56 (Currently Amended): The compound according to claim 51, wherein R⁵ represents formula (IIIa), formula (IIIb), or formula (IIIc)



wherein D, E, G, J, L, M, R⁸, R⁹, R¹⁰, R¹¹, and R¹² are as defined in claim 29

D, E, J, L, and M, which may be the same or different, represent a carbon or nitrogen atom,

G represents an oxygen or sulfur atom,

R⁸, R⁹, R¹⁰, R¹¹, and R¹², which may be the same or different, represent

(I) a halogen atom;

(II) C₁₋₆ alkyl optionally containing a substituent selected from the group consisting of

(1) hydroxyl, (2) thiol, (3) amino, (4) C₁₋₆ alkoxy, (5) C₁₋₆ alkylthio, (6) C₁₋₆ alkylsulfinyl, (7)

C₁₋₆ alkylsulfonyl, (8) mono- or di-C₁₋₆ alkylamino, (8') amino substituted by a heterocyclic

group optionally substituted by C₁₋₆ alkyl, (9) C₁₋₆ alkylcarbonyloxy, (10) C₁₋₆

alkylcarbonylthio, (11) C₁₋₆ alkylcarbonylamino, (12) aryloxy, (13) arylthio, (14) arylsulfinyl, (15) arylsulfonyl, (16) arylamino, (17) C₁₋₆ alkyl- or aryl-sulfonylamino, (18) C₁₋₆ alkyl- or aryl-ureido, (19) C₁₋₆ alkoxy- or aryloxy-carbonylamino, (20) C₁₋₆ alkylamino- or arylamino-carbonyloxy, (21) carboxyl, (22) nitro, (23) a heterocyclic group, (23') Het-S(=O)_j- wherein the Het represents a heterocyclic group, j is 0, 1, or 2, and Het is optionally substituted by alkyl optionally substituted by mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl, (24) cyano, and (25) a halogen atom,

wherein the alkyl moiety in (4) the C₁₋₆ alkoxy group, (5) the C₁₋₆ alkylthio group, (6) the C₁₋₆ alkylsulfinyl group, and (7) the C₁₋₆ alkylsulfonyl group is optionally substituted by a hydrogen atom; a halogen atom; C₁₋₆ alkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms; aryloxy; arylthio; hydroxyl; carboxyl; -S(=O)₂(-OH); C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl; or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxy, and

in (8) the mono- or di-C₁₋₆ alkylamino group, the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a

halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

(III) C₁₋₆ alkoxy optionally substituted by a halogen atom;

(IV) C₁₋₆ alkylthio optionally substituted by a halogen atom;

(V) C₃₋₇ cycloalkyl;

(VI) aryl;

(VII) aryloxy;

(VIII) C₁₋₆ alkylcarbonylamino;

(VIX) C₁₋₆ alkylcarbonyloxy;

(X) hydroxyl;

(XI) nitro;

(XII) cyano;

(XIII) amino;

(XIV) mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms;

(XV) arylamino;

(XVI) C₁₋₆ alkyl- or aryl-sulfonylamino;

(XVII) C₁₋₆ alkyl- or aryl-ureido;

(XVIII) C₁₋₆ alkoxy- or aryloxy-carbonylamino;

(XIX) C₁₋₆ alkylamino- or arylamino-carbonyloxy;

(XX) C₁₋₆ alkoxy- or aryloxy-carbonyl;

(XXI) acyl;

(XXII) carboxyl;

(XXIII) carbamoyl;

(XXIV) mono- or di-alkylcarbamoyl;

(XXV) a heterocyclic group;

(XXVI) alkyl- or aryl-sulfonyl;

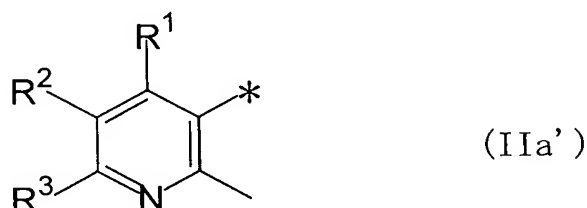
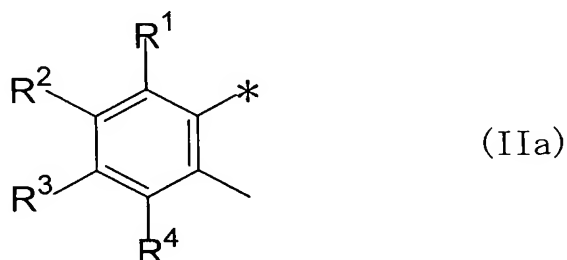
(XXVII) C₂₋₆ alkenyloxy;

(XXVIII) C₂₋₆ alkynyloxy; or

(XXIX) a hydrogen atom, and

when D, E, J, L, or M represents a nitrogen atom, R⁸, R⁹, R¹⁰, R¹¹, and R¹² each are absent, or otherwise may combine with a nitrogen atom to form N-oxide (N → O).

Claim 57 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIa) or formula (IIa'):



wherein

(1) R¹, R², R³, and R⁴ represent a hydrogen atom,

(2) R¹ and R⁴ represent a hydrogen atom, any one of R² and R³ represents a halogen atom; hydroxyl; optionally substituted C₁₋₆ alkyl; optionally substituted C₁₋₆ alkoxy; optionally substituted mono- or di-arylamino; optionally substituted mono- or di-C₁₋₆ alkylamino in which the dialkylamino together may form optionally substituted cyclic amino optionally containing 1 to 3 heteroatoms; or optionally substituted mono- or di-C₂₋₆ alkenylamino in which the di-C₂₋₆ alkenylamino group together may form optionally substituted unsaturated cyclic amino optionally containing 1 to 3 heteroatoms, and the other represents a hydrogen atom,

(3) R¹ and R⁴ represent a hydrogen atom, and R² and R³, which may be the same or different, represent a halogen atom; hydroxyl; optionally substituted C₁₋₆ alkyl; or optionally substituted C₁₋₆ alkoxy,

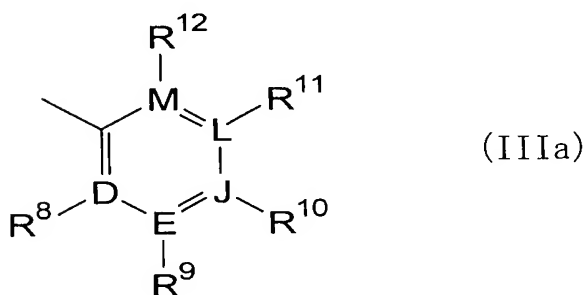
(4) R^1 and R^4 represent a hydrogen atom, and R^2 and R^3 together with the carbon atoms to which they are respectively attached form an unsaturated five- to seven-membered carbocyclic ring,

(5) R^1 and R^4 represent a hydrogen atom, any one of R^2 and R^3 represents optionally substituted mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino together may form optionally substituted cyclic amino optionally containing 1 to 3 heteroatoms, and the other represents a hydrogen atom, or

(6) R^1 and R^4 represent a hydrogen atom, any one of R^2 and R^3 represents optionally substituted C_{1-6} alkoxy, and the other represents a hydrogen atom, and

* represents a bond to $-C(=O)-NH(-Z)$

R^5 represents formula (IIIa)



wherein

(i) D, E, J, L, and M represent a carbon atom, any one or two of R^8 , R^9 , R^{10} , R^{11} , and R^{12} , which may be the same or different, represent a halogen atom; hydroxymethyl; C_{1-6} alkyl optionally substituted by a halogen atom; or C_{1-6} alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,

(ii) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, any one or two of R^8 , R^9 , R^{10} , R^{11} , and R^{12} may be the same or different and represent a halogen atom; hydroxymethyl; C_{1-6} alkyl optionally substituted by a

halogen atom, or C₁₋₆ alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,

(iii) D, E, J, L, and M represent a carbon atom, R⁸, R⁹, and R¹² represent a hydrogen atom, any one of R¹⁰ and R¹¹ represents a group of formula (IV)



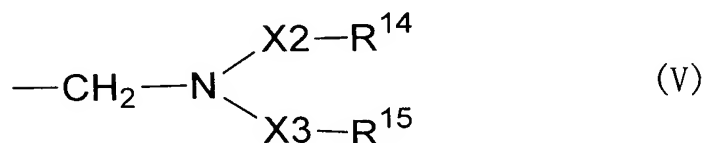
wherein Q, X1, and R¹³ are as defined in claim 31,

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹³ represents a hydrogen atom, a halogen atom, C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, -S(=O)₂(-OH), C₁₋₆ alkoxy- or aryloxy-carbonyl, C₁₋₆ alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)



wherein X2, X3, R¹⁴, and R¹⁵ are as defined in claim 31,

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

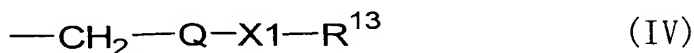
X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹⁴ and R¹⁵, which may be the same or different, represent a hydrogen atom; a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond, R¹⁴ represents a hydrogen atom, or when X3 represents a bond, R¹⁵ represents a hydrogen atom, or

R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the other represents a hydrogen atom, or

(iv) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, R^8 , R^9 , and R^{12} represent a hydrogen atom, and one of R^{10} and R^{11} represents a group of formula (IV)



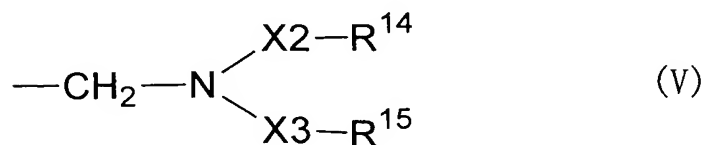
wherein Q, X1, and R^{13} are as defined in claim 31,

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R^{13} represents a hydrogen atom, a halogen atom, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, $\text{—S(=O)}_2\text{—(—OH)}$, C_{1-6} alkoxy- or aryloxy-carbonyl, C_{1-6} alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)



wherein X2, X3, R^{14} , and R^{15} are as defined in claim 31,

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

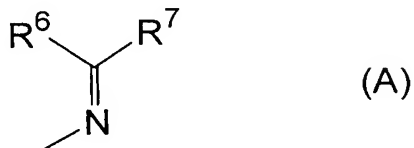
R¹⁴ and R¹⁵, which may be the same or different, represent a hydrogen atom; a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylethyl in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond, R¹⁴ represents a hydrogen atom, or when X3 represents a bond, R¹⁵ represents a hydrogen atom, or

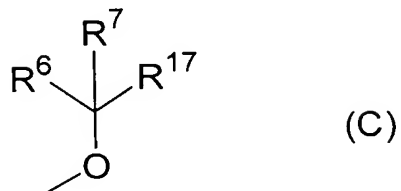
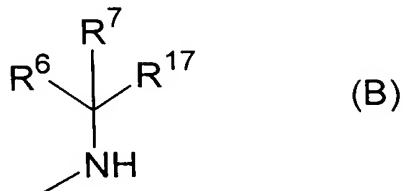
R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen

atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the other represents a hydrogen atom,

Z represents group (A), group (B), or group (C):





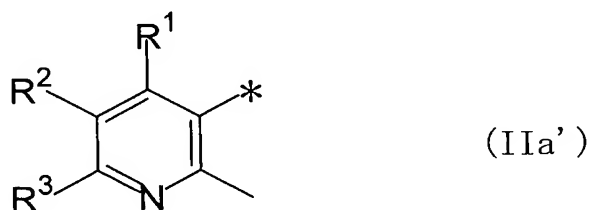
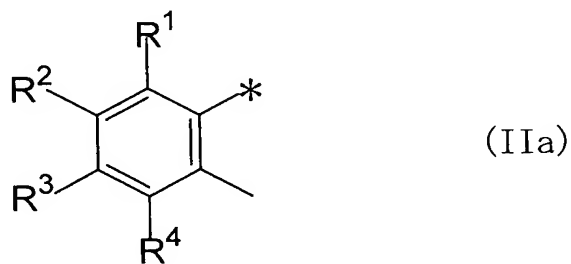
wherein

R^6 represents a hydrogen atom or C_{1-6} alkyl,

R^7 represents optionally substituted aryl, optionally substituted aryl C_{1-6} alkyl, optionally substituted aryl C_{2-6} alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and

R^{17} represents a hydrogen atom.

Claim 58 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIa) or formula (IIa')



wherein

(1) R¹, R², R³, and R⁴ represent a hydrogen atom,

(2) R¹ and R⁴ represent a hydrogen atom, any one of R² and R³ represents a halogen atom; hydroxyl; optionally substituted C₁₋₆ alkyl; optionally substituted C₁₋₆ alkoxy; optionally substituted mono- or di-arylamino; optionally substituted mono- or di-C₁₋₆ alkylamino in which the dialkylamino together may form optionally substituted cyclic amino optionally containing 1 to 3 heteroatoms; or optionally substituted mono- or di-C₂₋₆ alkenylamino in which the di-C₂₋₆ alkenylamino group together may form optionally substituted unsaturated cyclic amino optionally containing 1 to 3 heteroatoms, and the other represents a hydrogen atom,

(3) R¹ and R⁴ represent a hydrogen atom, and R² and R³, which may be the same or different, represent a halogen atom; hydroxyl; optionally substituted C₁₋₆ alkyl; or optionally substituted C₁₋₆ alkoxy,

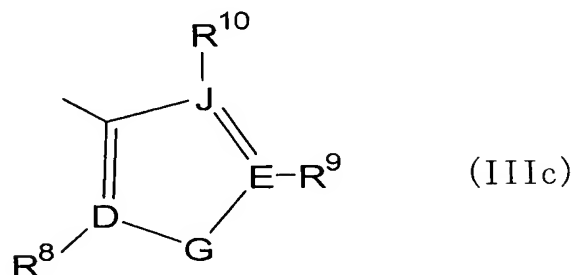
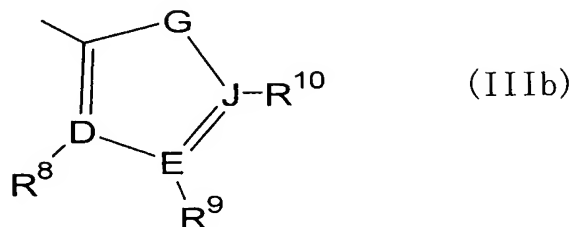
(4) R¹ and R⁴ represent a hydrogen atom, and R² and R³ together with the carbon atoms to which they are respectively attached form an unsaturated five- to seven-membered carbocyclic ring,

(5) R¹ and R⁴ represent a hydrogen atom, any one of R² and R³ represents optionally substituted mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino together may form optionally substituted cyclic amino optionally containing 1 to 3 heteroatoms, and the other represents a hydrogen atom, or

(6) R¹ and R⁴ represent a hydrogen atom, any one of R² and R³ represents optionally substituted C₁₋₆ alkoxy, and the other represents a hydrogen atom, and

* represents a bond to -C(=O)-NH(-Z)

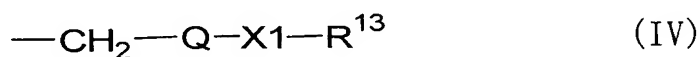
R⁵ represents formula (IIIb) or formula (IIIc)



wherein

(i) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, any one or two of R^8 , R^9 , and R^{10} , which may be the same or different, represent a halogen atom; hydroxymethyl; C_{1-6} alkyl optionally substituted by a halogen atom; or C_{1-6} alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom, or

(ii) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, one of R^8 , R^9 , and R^{10} represents a group of formula (IV)



wherein ~~Q, X1, and R^{13} are as defined in claim 31,~~

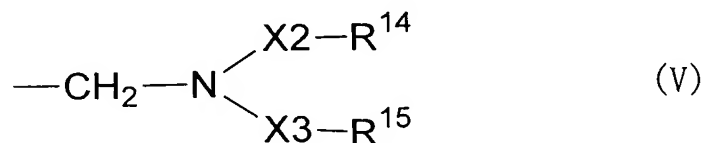
Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R^{13} represents a hydrogen atom, a halogen atom, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may

form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, -S(=O)₂(-OH), C₁₋₆ alkoxy- or aryloxy-carbonyl, C₁₋₆ alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)



wherein wherein ~~X₂, X₃, R¹⁴, and R¹⁵ are as defined in claim 31,~~

X₂ represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X₃ represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹⁴ and R¹⁵, which may be the same or different, represent a hydrogen atom; a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally

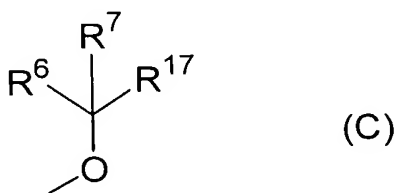
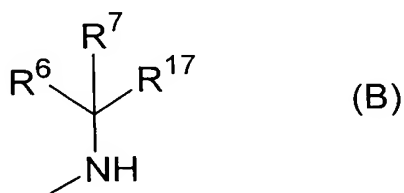
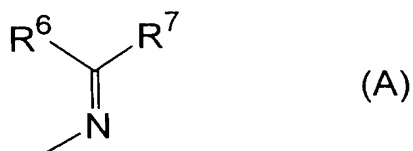
substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X₂ represents a bond, R¹⁴ represents a hydrogen atom, or when X₃ represents a bond, R¹⁵ represents a hydrogen atom, or

R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or

an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the others represent a hydrogen atom,

Z represents group (A), group (B), or group (C):



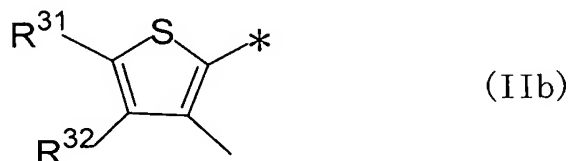
wherein

R⁶ represents a hydrogen atom or C₁₋₆ alkyl,

R⁷ represents optionally substituted aryl, optionally substituted aryl C₁₋₆ alkyl, optionally substituted aryl C₂₋₆ alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and

R¹⁷ represents a hydrogen atom.

Claim 59 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIb)



wherein

(i) R³¹ and R³² represent a hydrogen atom,

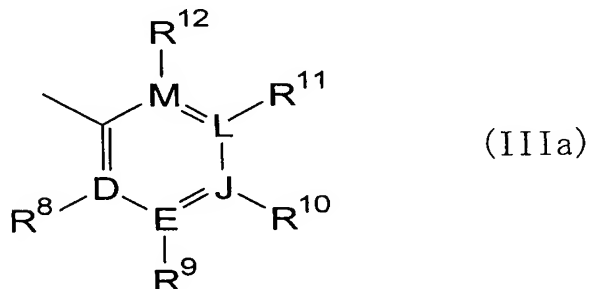
(ii) any one of R³¹ and R³² represents a hydrogen atom, and the other represents C₁₋₆ alkyl optionally substituted by mono- or di-C₁₋₆ alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms,

(iii) R³¹ and R³², which may be the same or different, represent C₁₋₆ alkyl optionally substituted by mono- or di-C₁₋₆ alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms, or

(iv) R³¹ and R³² together with the carbon atoms to which they are respectively attached form an unsaturated five- to seven-membered carbocyclic ring, and

* represents a bond to -C(=O)-NH(-Z)

R⁵ represents formula (IIIa)

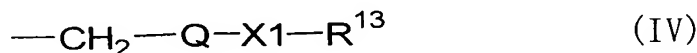


wherein

(i) D, E, J, L, and M represent a carbon atom, any one or two of R^8 , R^9 , R^{10} , R^{11} , and R^{12} , which may be the same or different, represent a halogen atom; hydroxymethyl; C_{1-6} alkyl optionally substituted by a halogen atom; or C_{1-6} alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,

(ii) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, any one or two of R^8 , R^9 , R^{10} , R^{11} , and R^{12} may be the same or different and represent a halogen atom; hydroxymethyl; C_{1-6} alkyl optionally substituted by a halogen atom, or C_{1-6} alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,

(iii) D, E, J, L, and M represent a carbon atom, R^8 , R^9 , and R^{12} represent a hydrogen atom, any one of R^{10} and R^{11} represents a group of formula (IV)



wherein Q, X1, and R^{13} are as defined in claim 31,

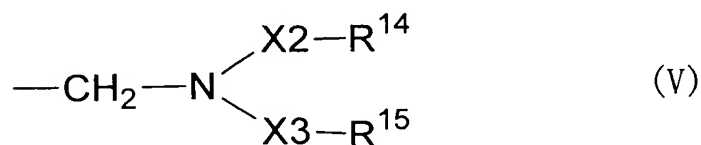
Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R^{13} represents a hydrogen atom, a halogen atom, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, $-\text{S}(=\text{O})_2(-\text{OH})$, C_{1-6} alkoxy- or aryloxy-carbonyl, C_{1-6} alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl

groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)



wherein ~~wherein X2, X3, R¹⁴, and R¹⁵ are as defined in claim 31,~~

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹⁴ and R¹⁵, which may be the same or different, represent a hydrogen atom; a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or

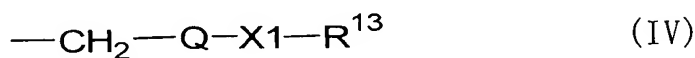
aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X₂ represents a bond, R¹⁴ represents a hydrogen atom, or when X₃ represents a bond, R¹⁵ represents a hydrogen atom, or

R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic

carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the other represents a hydrogen atom,

(iv) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, R^8 , R^9 , and R^{12} represent a hydrogen atom, and one of R^{10} and R^{11} represents a group of formula (IV)



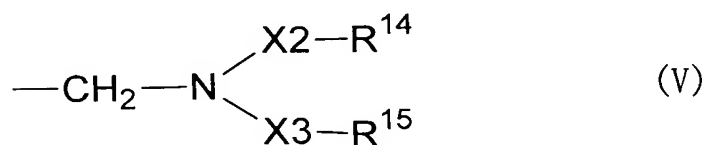
wherein Q, X1, and R^{13} are as defined in claim 31,

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R^{13} represents a hydrogen atom, a halogen atom, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, $\text{—S(=O)}_2\text{—(—OH)}$, C_{1-6} alkoxy- or aryloxy-carbonyl, C_{1-6} alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)



wherein wherein ~~X2, X3, R¹⁴, and R¹⁵~~ are as defined in claim 31,

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

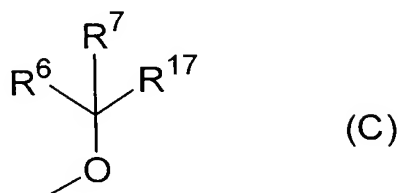
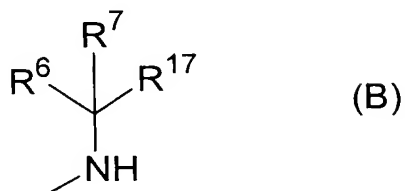
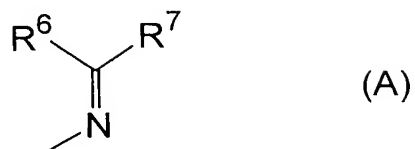
X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹⁴ and R¹⁵, which may be the same or different, represent a hydrogen atom; a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond, R¹⁴ represents a hydrogen atom, or when X3 represents a bond, R¹⁵ represents a hydrogen atom, or

R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the other represents a hydrogen atom,

Z represents group (A), group (B), or group (C):



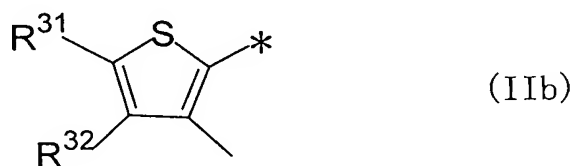
wherein

R^6 represents a hydrogen atom or C_{1-6} alkyl,

R^7 represents optionally substituted aryl, optionally substituted aryl C_{1-6} alkyl, optionally substituted aryl C_{2-6} alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and

R^{17} represents a hydrogen atom.

Claim 60 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIb)



wherein

(i) R^{31} and R^{32} represent a hydrogen atom,

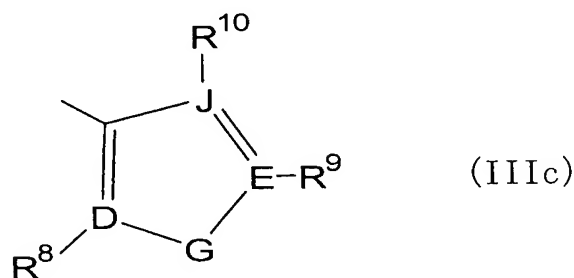
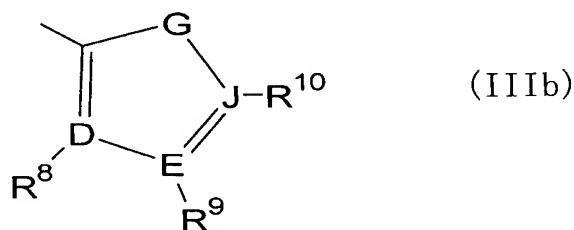
(ii) any one of R^{31} and R^{32} represents a hydrogen atom, and the other represents C_{1-6} alkyl optionally substituted by mono- or di- C_{1-6} alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms,

(iii) R^{31} and R^{32} , which may be the same or different, represent C_{1-6} alkyl optionally substituted by mono- or di- C_{1-6} alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms, or

(iv) R^{31} and R^{32} together with the carbon atoms to which they are respectively attached form an unsaturated five- to seven-membered carbocyclic ring, and

* represents a bond to $-C(=O)-NH(-Z)$

R^5 represents formula (IIIb) or formula (IIIc)

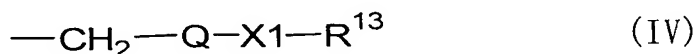


wherein

(i) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, any one or two of R^8 , R^9 , and R^{10} , which may be the same or different, represent a halogen atom;

hydroxymethyl; C₁₋₆ alkyl optionally substituted by a halogen atom; or C₁₋₆ alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom, or

(ii) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, one of R⁸, R⁹, and R¹⁰ represents a group of formula (IV)



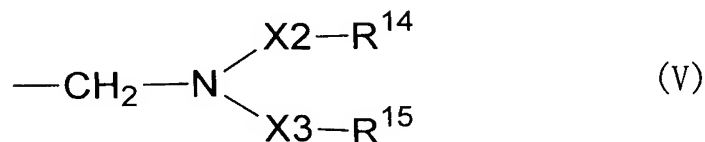
wherein ~~Q, X1, and R¹³ are as defined in claim 31,~~

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹³ represents a hydrogen atom, a halogen atom, C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, -S(=O)₂(-OH), C₁₋₆ alkoxy- or aryloxy-carbonyl, C₁₋₆ alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)



wherein ~~X2, X3, R¹⁴, and R¹⁵ are as defined in claim 31,~~

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

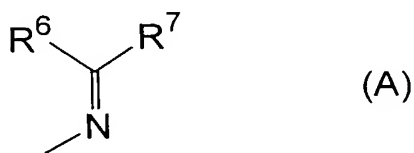
R¹⁴ and R¹⁵, which may be the same or different, represent a hydrogen atom; a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylethyl in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond, R¹⁴ represents a hydrogen atom, or when X3 represents a bond, R¹⁵ represents a hydrogen atom, or

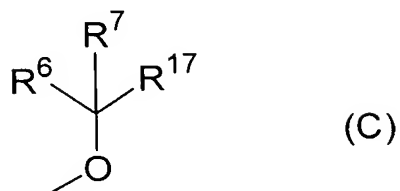
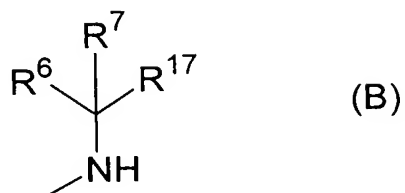
R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in

addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the others represent a hydrogen atom,

Z represents group (A), group (B), or group (C):





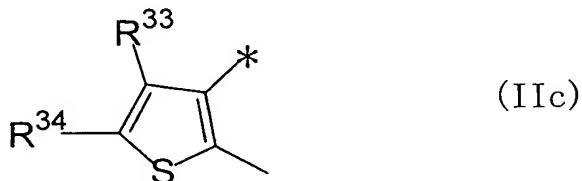
wherein

R^6 represents a hydrogen atom or C_{1-6} alkyl,

R^7 represents optionally substituted aryl, optionally substituted aryl C_{1-6} alkyl, optionally substituted aryl C_{2-6} alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and

R^{17} represents a hydrogen atom.

Claim 61 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIc)



wherein

(i) R^{33} and R^{34} represent a hydrogen atom,

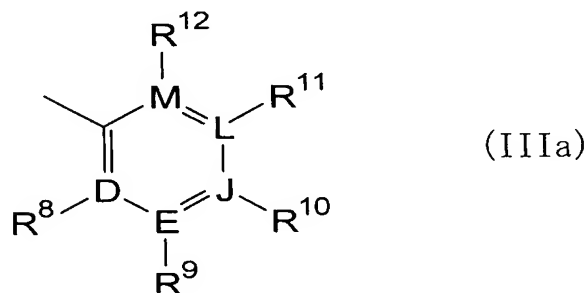
(ii) any one of R^{33} and R^{34} represents a hydrogen atom, and the other represents C_{1-6} alkyl optionally substituted by mono- or di- C_{1-6} alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms,

(iii) R^{33} and R^{34} , which may be the same or different, represent C_{1-6} alkyl optionally substituted by mono- or di- C_{1-6} alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms, or

(iv) R^{33} and R^{34} together with the carbon atoms to which they are respectively attached form an unsaturated five- to seven-membered carbocyclic ring, and

* represents a bond to $-C(=O)-NH(-Z)$

R^5 represents formula (IIIa)



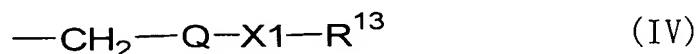
wherein

(i) D, E, J, L, and M represent a carbon atom, any one or two of R^8 , R^9 , R^{10} , R^{11} , and R^{12} , which may be the same or different, represent a halogen atom; hydroxymethyl; C_{1-6} alkyl optionally substituted by a halogen atom; or C_{1-6} alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,

(ii) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, any one or two of R^8 , R^9 , R^{10} , R^{11} , and R^{12} may be the same or different and represent a halogen atom; hydroxymethyl; C_{1-6} alkyl optionally substituted by a

halogen atom, or C₁₋₆ alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,

(iii) D, E, J, L, and M represent a carbon atom, R⁸, R⁹, and R¹² represent a hydrogen atom, any one of R¹⁰ and R¹¹ represents a group of formula (IV)



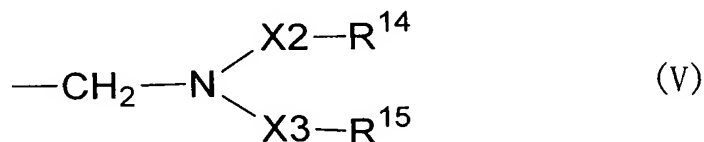
wherein Q, X1, and R¹³ are as defined in claim 31,

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹³ represents a hydrogen atom, a halogen atom, C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, -S(=O)₂(-OH), C₁₋₆ alkoxy- or aryloxy-carbonyl, C₁₋₆ alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)



wherein X2, X3, R¹⁴, and R¹⁵ are as defined in claim 31,

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

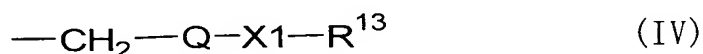
R¹⁴ and R¹⁵, which may be the same or different, represent a hydrogen atom; a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond, R¹⁴ represents a hydrogen atom, or when X3 represents a bond, R¹⁵ represents a hydrogen atom, or

R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in

addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the other represents a hydrogen atom,

(iv) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, R⁸, R⁹, and R¹² represent a hydrogen atom, and one of R¹⁰ and R¹¹ represents a group of formula (IV)



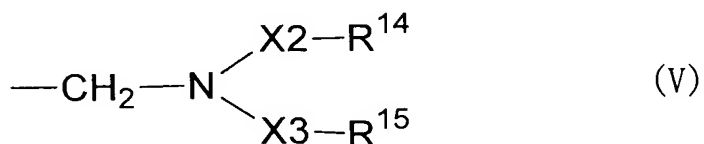
wherein Q, X1, and R¹³ are as defined in claim 31,

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹³ represents a hydrogen atom, a halogen atom, C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, -S(=O)₂(-OH), C₁₋₆ alkoxy- or aryloxy-carbonyl, C₁₋₆ alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)



wherein X2, X3, R¹⁴, and R¹⁵ are as defined in claim 31,

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹⁴ and R¹⁵, which may be the same or different, represent a hydrogen atom; a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl

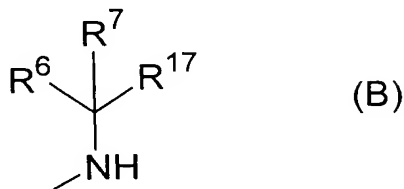
optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X₂ represents a bond, R¹⁴ represents a hydrogen atom, or when X₃ represents a bond, R¹⁵ represents a hydrogen atom, or

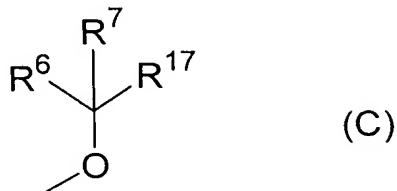
R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇

cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the other represents a hydrogen atom,

Z represents group (A), group (B), or group (C):





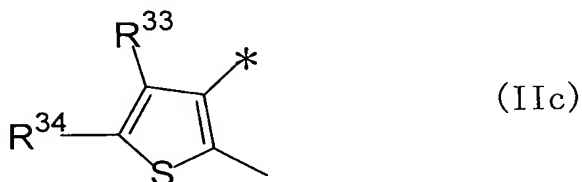
wherein

R^6 represents a hydrogen atom or C_{1-6} alkyl,

R^7 represents optionally substituted aryl, optionally substituted aryl C_{1-6} alkyl, optionally substituted aryl C_{2-6} alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and

R^{17} represents a hydrogen atom.

Claim 62 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIc)



wherein

(i) R^{33} and R^{34} represent a hydrogen atom,

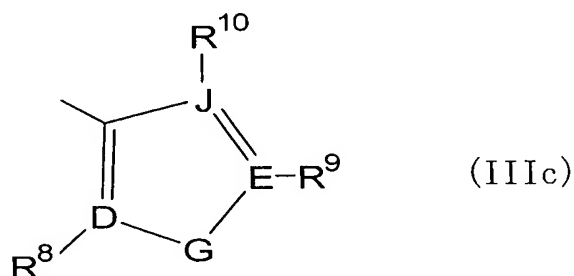
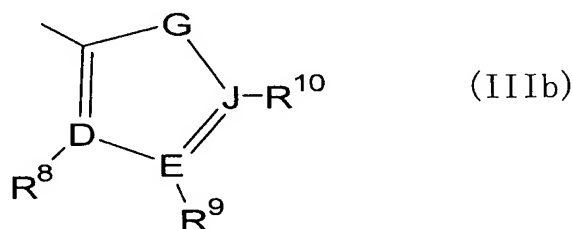
(ii) any one of R^{33} and R^{34} represents a hydrogen atom, and the other represents C_{1-6} alkyl optionally substituted by mono- or di- C_{1-6} alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms,

(iii) R^{33} and R^{34} , which may be the same or different, represent C_{1-6} alkyl optionally substituted by mono- or di- C_{1-6} alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms, or

(iv) R^{33} and R^{34} together with the carbon atoms to which they are respectively attached form an unsaturated five- to seven-membered carbocyclic ring, and

* represents a bond to $-C(=O)-NH(-Z)$

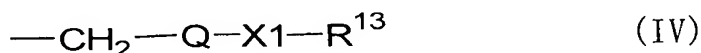
R^5 represents formula (IIIb) or formula (IIIc)



wherein

(i) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, any one or two of R^8 , R^9 , and R^{10} , which may be the same or different, represent a halogen atom; hydroxymethyl; C_{1-6} alkyl optionally substituted by a halogen atom; or C_{1-6} alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom, or

(ii) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, one of R^8 , R^9 , and R^{10} represents a group of formula (IV)



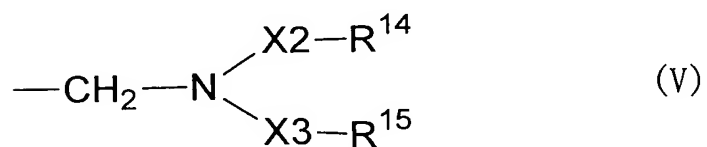
wherein Q, X1, and R¹³ are as defined in claim 31,

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹³ represents a hydrogen atom, a halogen atom, C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, -S(=O)₂(-OH), C₁₋₆ alkoxy- or aryloxy-carbonyl, C₁₋₆ alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)



wherein X2, X3, R¹⁴, and R¹⁵ are as defined in claim 31,

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹⁴ and R¹⁵, which may be the same or different, represent a hydrogen atom; a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl

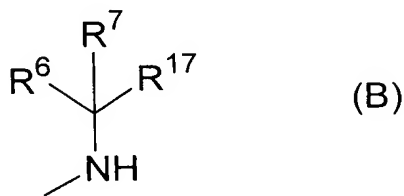
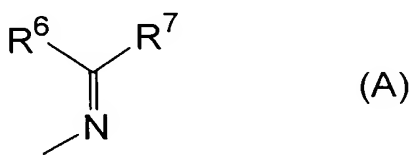
optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X₂ represents a bond, R¹⁴ represents a hydrogen atom, or when X₃ represents a bond, R¹⁵ represents a hydrogen atom, or

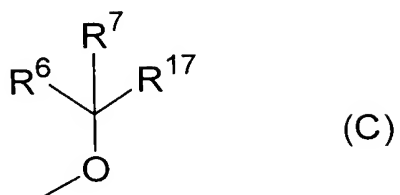
R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇

cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the others represent a hydrogen atom,

Z represents group (A), group (B), or group (C):





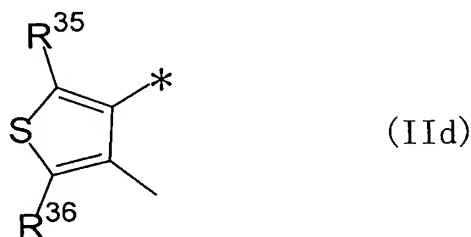
wherein

R^6 represents a hydrogen atom or C_{1-6} alkyl,

R^7 represents optionally substituted aryl, optionally substituted aryl C_{1-6} alkyl, optionally substituted aryl C_{2-6} alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and

R^{17} represents a hydrogen atom.

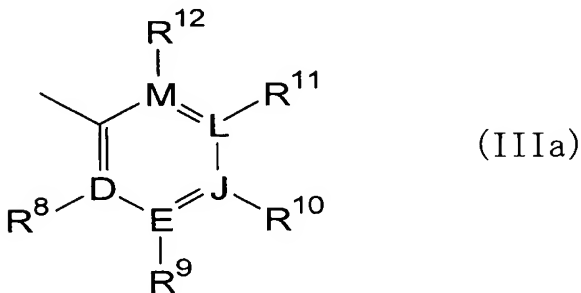
Claim 63 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIId)



wherein R^{35} and R^{36} represent a hydrogen atom, or any one of R^{35} and R^{36} represents a hydrogen atom ~~with~~ and the other ~~representing~~ represents C_{1-6} alkyl optionally substituted by a halogen atom, and

* represents a bond to $-C(=O)-NH(-Z)$

R^5 represents formula (IIIa)

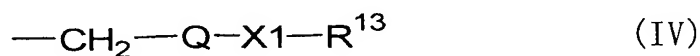


wherein

(i) D, E, J, L, and M represent a carbon atom, any one or two of R^8 , R^9 , R^{10} , R^{11} , and R^{12} , which may be the same or different, represent a halogen atom; hydroxymethyl; C_{1-6} alkyl optionally substituted by a halogen atom; or C_{1-6} alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,

(ii) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, any one or two of R^8 , R^9 , R^{10} , R^{11} , and R^{12} may be the same or different and represent a halogen atom; hydroxymethyl; C_{1-6} alkyl optionally substituted by a halogen atom, or C_{1-6} alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,

(iii) D, E, J, L, and M represent a carbon atom, R^8 , R^9 , and R^{12} represent a hydrogen atom, any one of R^{10} and R^{11} represents a group of formula (IV)



wherein Q, X1, and R^{13} are as defined in claim 31,

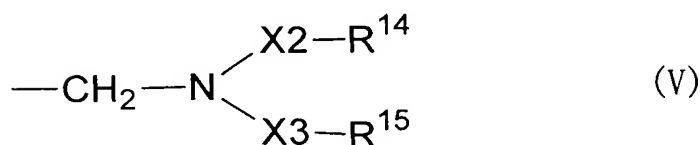
Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R^{13} represents a hydrogen atom, a halogen atom, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may

form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, -S(=O)₂(-OH), C₁₋₆ alkoxy- or aryloxy-carbonyl, C₁₋₆ alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)



wherein X2, X3, R¹⁴, and R¹⁵ are as defined in claim 31,

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹⁴ and R¹⁵, which may be the same or different, represent a hydrogen atom; a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or

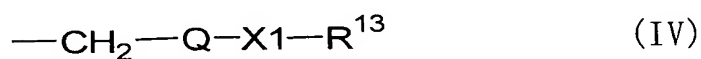
two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X₂ represents a bond, R¹⁴ represents a hydrogen atom, or when X₃ represents a bond, R¹⁵ represents a hydrogen atom, or

R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino

group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the other represents a hydrogen atom, or

(iv) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, R⁸, R⁹, and R¹² represent a hydrogen atom, and one of R¹⁰ and R¹¹ represents a group of formula (IV)



wherein Q, X1, and R¹³ ~~are as defined in claim 31,~~

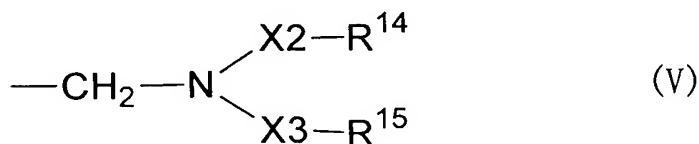
Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹³ represents a hydrogen atom, a halogen atom, C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, -S(=O)₂(-OH), C₁₋₆ alkoxy- or aryloxy-carbonyl, C₁₋₆ alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl

groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)



wherein X2, X3, R¹⁴, and R¹⁵ are as defined in claim 31,

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹⁴ and R¹⁵, which may be the same or different, represent a hydrogen atom; a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by

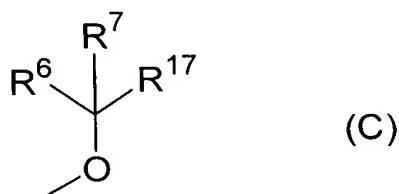
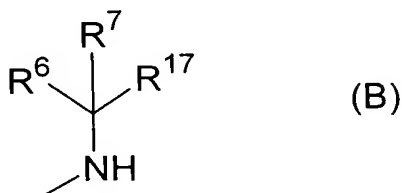
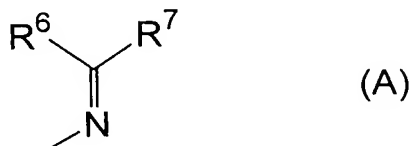
hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X₂ represents a bond, R¹⁴ represents a hydrogen atom, or when X₃ represents a bond, R¹⁵ represents a hydrogen atom, or

R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic

carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the other represents a hydrogen atom,

Z represents group (A), group (B), or group (C):



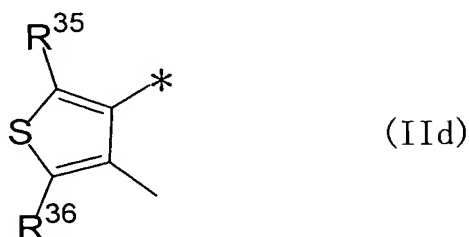
wherein

R⁶ represents a hydrogen atom or C₁₋₆ alkyl,

R⁷ represents optionally substituted aryl, optionally substituted aryl C₁₋₆ alkyl, optionally substituted aryl C₂₋₆ alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and

R¹⁷ represents a hydrogen atom.

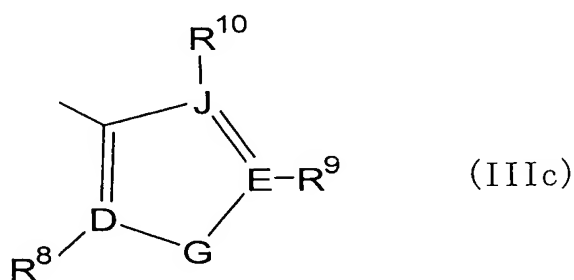
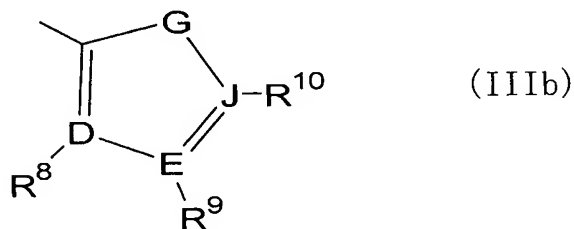
Claim 64 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIId)



wherein R³⁵ and R³⁶ represent a hydrogen atom, or any one of R³⁵ and R³⁶ represents a hydrogen atom with and the other ~~representing~~ represents C₁₋₆ alkyl optionally substituted by a halogen atom, and

* represents a bond to -C(=O)-NH(-Z)

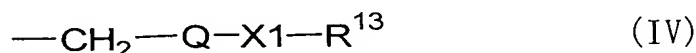
R⁵ represents formula (IIIb) or formula (IIIc)



wherein

(i) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, any one or two of R⁸, R⁹, and R¹⁰, which may be the same or different, represent a halogen atom; hydroxymethyl; C₁₋₆ alkyl optionally substituted by a halogen atom; or C₁₋₆ alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,

(ii) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, one of R⁸, R⁹, and R¹⁰ represents a group of formula (IV)



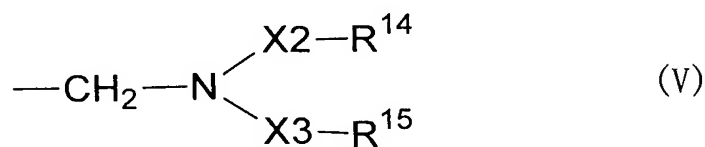
wherein Q, X1, and R¹³ are as defined in claim 31,

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹³ represents a hydrogen atom, a halogen atom, C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, -S(=O)₂(-OH), C₁₋₆ alkoxy- or aryloxy-carbonyl, C₁₋₆ alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)



wherein X2, X3, R¹⁴, and R¹⁵ are as defined in claim 31,

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms.

R¹⁴ and R¹⁵, which may be the same or different, represent a hydrogen atom; a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylethyl in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond, R¹⁴ represents a hydrogen atom, or when X3 represents a bond, R¹⁵ represents a hydrogen atom, or

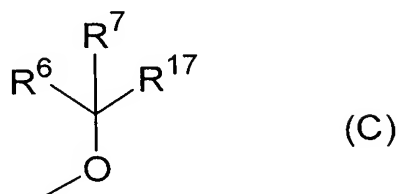
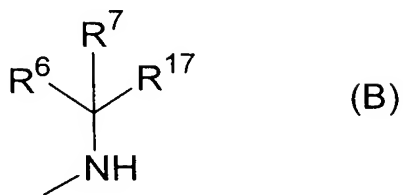
R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen

atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the others represent a hydrogen atom,

Z represents group (A), group (B), or group (C):





wherein

R⁶ represents a hydrogen atom or C₁₋₆ alkyl,

R⁷ represents optionally substituted aryl, optionally substituted aryl C₁₋₆ alkyl, optionally substituted aryl C₂₋₆ alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and

R¹⁷ represents a hydrogen atom.

Claim 65 (Canceled).

Claim 66 (Currently Amended): A pharmaceutical composition comprising as an active ingredient a compound according to ~~claim 4~~ claim 50 or a pharmaceutically acceptable salt ~~or solvate~~ thereof.

Claims 67-86 (Canceled).

Claim 87 (Currently Amended): A method for preventing or treating a disease for which serum phosphorus lowering action or phosphate transport inhibition is therapeutically

effective, said method comprising the step of administering a therapeutically or prophylactically effective amount of a compound according to ~~claim 4~~ claim 50 or a pharmaceutically acceptable salt ~~or solvate~~ thereof to a mammal.

Claim 88 (Original): The method according to claim 87, wherein the disease for which serum phosphorus lowering action or phosphate transport inhibition is therapeutically or prophylactically effective is hyperphosphatemia.

Claim 89 (Original): The method according to claim 87, wherein the disease for which serum phosphorus lowering action or phosphate transport inhibition is therapeutically or prophylactically effective is renal failure or chronic renal failure.

Claim 90 (Original): The method according to claim 87, wherein the diseases for which serum phosphorus lowering action or phosphate transport inhibition is therapeutically or prophylactically effective are secondary hyperparathyroidism and primary hyperparathyroidism and diseases related thereto.

Claim 91 (Original): The method according to claim 90, wherein the secondary hyperparathyroidism-related disease is renal osteodystrophy, central or peripheral nervous system damage induced by PTH increase or vitamin D lowering, anemia, myocardiopathy, hyperlipidemia, anomaly of saccharometabolism, pruritus cutaneus, tendon rupture, sexual dysfunction, muscle damage, skin ischemic ulcer, growth retardation, heart conduction disturbance, pulmonary diffusing impairment, immune deficiency, ostealgia and arthralgia, bone deformity, or fracture.

Claim 92 (Original): The method according to claim 87, wherein the disease for which serum phosphorus lowering action or phosphate transport inhibition is therapeutically or prophylactically effective is calcium/phosphorus metabolic disorder, for example, metabolic osteopathy.

Claim 93 (Original): The method according to claim 87, wherein the disease for which serum phosphorus lowering action or phosphate transport inhibition is therapeutically or prophylactically effective is a disease for which the suppression of calcium and/or phosphorus product is therapeutically effective.

Claim 94 (Original): The method according to claim 93, wherein the disease for which the suppression of calcium and/or phosphorus product is therapeutically effective is calcification of cardiovascular system in dialysis patients, age-related arterial sclerosis, diabetic vasculopathy, calcification of soft tissue, metastatic calcification, ectopic calcification, red eye, arthralgia, myalgia, pruritus cutaneus, heart conduction disturbance, pulmonary diffusing impairment, angina pectoris, cardiac infarction, or heart failure induced by cardiac murmur or valvular disease.

Claim 95 (Currently Amended): A method for lowering the concentration of serum phosphorus in a blood stream, said method comprising the step of administering a therapeutically or prophylactically effective amount of a compound according to ~~claim 1~~ claim 50 or a pharmaceutically acceptable salt or solvate thereof to a mammal.

Claim 96 (Currently Amended): A method for inhibiting phosphate transport in vivo, said method comprising the step of administering a therapeutically or prophylactically effective amount of a compound according to ~~claim 1~~ claim 50 or a pharmaceutically acceptable salt ~~or solvate~~ thereof to a mammal.